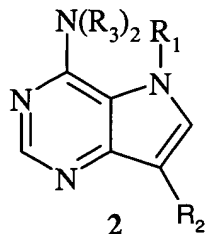


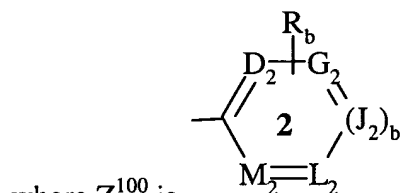
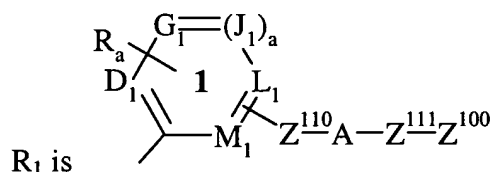
Amendments to the Claims:

This listing of claims will replace all prior versions, and listings of claims in the application:

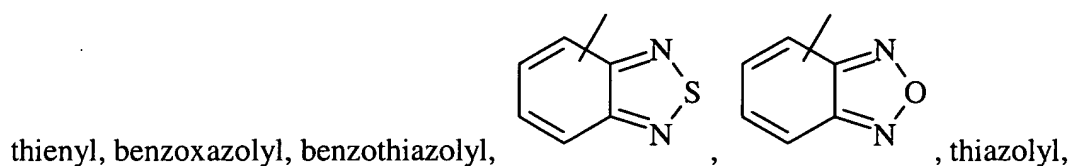
1. (Previously Presented) A compound of Formula (I), the racemic-diastereomeric mixtures, optical isomers or pharmaceutically-acceptable salts thereof,



wherein:



or a group optionally substituted with R_b selected from the group consisting of cycloalkyl, naphthyl, tetrahydronaphthyl, benzothienyl, furanyl,



benzofuranyl, 2,3-dihydrobenzofuranyl, indolyl, isoxazolyl, tetrahydropyranyl, tetrahydrofuranyl, piperidiny, pyrazolyl, pyrrolyl, oxazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, indoliny, indazolyl, benzoisothiazolyl, pyrido-oxazolyl, pyrido-thiazolyl, pyrimido-oxazolyl, pyrimido-thiazolyl and benzimidazolyl;

Z¹¹⁰ is a covalent bond, or an optionally substituted (C₁-C₆) which is optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, NO₂, COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

Z^{111} is a covalent bond, an optionally substituted (C_1-C_6) or an optionally substituted $-(CH_2)_n$ -cycloalkyl- $(CH_2)_n$ -; where the optionally substituted groups are optionally substituted with one or more substituents selected from the group consisting of alkyl, CN, OH, halogen, NO_2 , COOH, substituted or unsubstituted amino and substituted or unsubstituted phenyl;

R_a and R_b each represent one or more substituents for each occurrence independently selected from the group consisting of hydrogen, halogen, -CN, $-NO_2$, $-C(O)OH$, $-C(O)H$, -OH, $-C(O)O$ -alkyl, substituted or unsubstituted carboxamido, tetrazolyl, trifluoromethylcarbonylamino, trifluoromethylsulfonamido, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted alkenyl, substituted or unsubstituted aryloxy, substituted or unsubstituted heteroaryloxy, substituted or unsubstituted arylalkyl, substituted or unsubstituted alkynyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkyl, substituted or unsubstituted amido groups, substituted or unsubstituted heteroarylthio, substituted or unsubstituted arylthio, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$, R_c and CH_2OR_c ;

where R_c for each occurrence is independently hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, $-CH_2-NR_dR_e$, $-W-(CH_2)_t-NR_dR_e$, $-W-(CH_2)_t-O$ -alkyl, $-W-(CH_2)_t-S$ -alkyl, or $-W-(CH_2)_t-OH$;

Z^{105} for each occurrence is independently a covalent bond or (C_1-C_6);

Z^{200} for each occurrence is independently a substituted or unsubstituted (C_1-C_6), substituted or unsubstituted phenyl or substituted or unsubstituted $-(C_1-C_6)$ -phenyl;

R_d and R_e for each occurrence are independently H, alkyl, alkanoyl or SO_2 -alkyl; or R_d , R_e and the nitrogen atom to which they are attached together form pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring; t for each occurrence is independently an integer from 2 to 6; W for each occurrence is independently a direct bond or O, S, $S(O)$, $S(O)_2$, or NR_f , wherein R_f for each occurrence is independently H or alkyl;

or R_1 is a substituted or unsubstituted carbocyclic, thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl,

pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides fused with ring 2;

R₃ is hydrogen, hydroxy, substituted or unsubstituted alkyl or substituted or unsubstituted alkoxy;

A is -O-; -S-; -S(O)_p-; -N(R)-; -N(C(O)OR)-; -N(C(O)R)-; -N(SO₂R)-; -CH₂O-; -CH₂S-; -CH₂N(R)-; -CH(NR)-; -CH₂N(C(O)R)-; -CH₂N(C(O)OR)-; -CH₂N(SO₂R)-; -CH(NHR)-; -CH(NHC(O)R)-; -CH(NHSO₂R)-; -CH(NHC(O)OR)-; -CH(OC(O)R)-; -CH(OC(O)NHR)-; -CH=CH-; -C(=NOR)-; -C(O)-; -CH(OR)-; -C(O)N(R)-; -N(R)C(O)-; -N(R)S(O)_p-; -OC(O)N(R)-; -N(R)-C(O)-(CH₂)_n-N(R)-, -N(R)C(O)O-; -N(R)-(CH₂)_{n+1}-C(O)-, -S(O)_pN(R)-; -O-(CR₂)_{n+1}-C(O)-, -O-(CR₂)_{n+1}-O-, -N(C(O)R)S(O)_p-; -N(R)S(O)_pN(R)-; -N(R)-C(O)-(CH₂)_n-O-, -C(O)N(R)C(O)-; -S(O)_pN(R)C(O)-; -OS(O)_pN(R)-; -N(R)S(O)_pO-; -N(R)S(O)_pC(O)-; -SO_pN(C(O)R)-; -N(R)SO_pN(R)-; -C(O)O-; -N(R)P(OR_g)O-; -N(R)P(OR_g)-; -N(R)P(O)(OR_g)O-; -N(R)P(O)(OR_g)-; -N(C(O)R)P(OR_g)O-; -N(C(O)R)P(OR_g)-; -N(C(O)R)P(O)(OR_g)O-, or -N(C(O)R)P(OR_g)-;

where R for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl or substituted or unsubstituted aryl;

R_g for each occurrence is independently H, substituted or unsubstituted alkyl, substituted or unsubstituted arylalkyl, substituted or unsubstituted cycloalkyl or substituted or unsubstituted aryl;

p is 1 or 2;

or in a phosphorus containing group, the nitrogen atom, the phosphorus atom, R and R_g together form a pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl or tetrazolyl ring; or

A is NRSO_2 and R, R_a and the nitrogen atom together form a substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl or substituted or unsubstituted tetrazolyl ring fused to ring 1;

R_2 is $-\text{Z}^{101}-\text{Z}^{102}$;

Z^{101} is a covalent bond, $-(\text{C}_1-\text{C}_6)-$, $-(\text{C}_1-\text{C}_6)-\text{O}-$, $-(\text{C}_1-\text{C}_6)-\text{C}(\text{O})-$, $-(\text{C}_1-\text{C}_6)-\text{C}(\text{O})\text{O}-$, $-(\text{C}_1-\text{C}_6)-\text{C}(\text{O})-\text{NH}-$, $-(\text{C}_1-\text{C}_6)-\text{C}(\text{O})-\text{N}((\text{C}_1-\text{C}_6))-$ or a substituted or unsubstituted phenyl group;

Z^{102} is hydrogen, a substituted or unsubstituted alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline substituted or unsubstituted purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides, or a substituted or unsubstituted, saturated or unsaturated heterobicyclic group;

said substituted thienyl, substituted pyridyl, substituted pyrazolyl, substituted isoxazolyl, substituted thiadiazolyl, substituted oxadiazolyl, substituted indazolyl, substituted furanyl, substituted pyrrolyl, substituted imidazolyl, substituted pyrazolyl, substituted triazolyl, substituted pyrimidinyl, substituted pyrazinyl, substituted thiazolyl, substituted or isothiazolyl, substituted oxazolyl, substituted tetrazolyl, substituted benzo[b]thienyl, substituted benzimidazolyl, substituted benzoxazolyl, substituted benzothiazolyl, substituted benzothiadiazolyl, substituted benzodiazolyl, substituted indolyl, substituted tetrahydroindolyl, substituted azaindolyl, substituted indazolyl, substituted quinolynyl, substituted imidazopyridinyl, substituted quinazoline substituted purinyl, substituted pyrrolo[2,3-d]pyrimidinyl, substituted pyrazolo[3,4-d]pyrimidinyl or substituted heterobicyclic group having one or more substituents each independently selected from the group consisting of hydroxyl, cyano, substituted or unsubstituted alkoxy, substituted or unsubstituted sulfonamido, substituted or unsubstituted ureido, substituted or unsubstituted carboxamido; substituted or unsubstituted amino, oxo, a saturated, unsaturated or aromatic, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolynyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides;

wherein said nitrogen atoms are independently optionally substituted by a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; or

R₂ is of the formula B-E, wherein B is a substituted or unsubstituted cycloalkyl, substituted or unsubstituted azacycloalkyl, substituted or unsubstituted amino, substituted or unsubstituted aminoalkylsulfonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted alkoxy, substituted or unsubstituted aminoalkylcarbonyl, hydroxy, substituted or unsubstituted alkylene, substituted or unsubstituted aminoalkyl, substituted or unsubstituted alkylencarbonyl or substituted or unsubstituted aminoalkylcarbonyl group; and E is substituted or unsubstituted azacycloalkyl, substituted or unsubstituted azacycloalkylcarbonyl, substituted or unsubstituted azacycloalkylsulfonyl, substituted or unsubstituted azacycloalkylalkyl, substituted or unsubstituted heteroaryl, substituted or unsubstituted heteroarylcarbonyl, substituted or unsubstituted heteroarylsulfonyl, substituted or unsubstituted heteroarylalkyl, substituted or unsubstituted azacycloalkylcarbonylamino, substituted or unsubstituted heteroarylcarbonylamino or substituted or unsubstituted aryl;

a is 1 and D₁, G₁, J₁, L₁ and M₁ are each independently selected from the group consisting of CR_a and N, provided that at least two of D₁, G₁, J₁, L₁ and M₁ are CR_a; or

a is 0, and one of D₁, G₁, L₁ and M₁ is NR_a, one of D₁, G₁, L₁ and M₁ is CR_a and the remainder are independently selected from the group consisting of CR_a and N, wherein R_a is as defined above;

b is 1 and D₂, G₂, J₂, L₂ and M₂ are each independently selected from the group consisting of CR_a and N, provided that at least two of D₂, G₂, J₂, L₂ and M₂ are CR_a; or

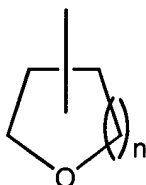
b is 0, and one of D₂, G₂, L₂ and M₂ is NR_a, one of D₂, G₂, L₂ and M₂ is CR_a and the remainder are independently selected from the group consisting of CR_a and N, wherein R_a is as defined above; and

n for each occurrence is independently an integer from 0 to 6;

wherein the substituents for R_a, R_b, R_c, Z²⁰⁰, R₃, R₁, Z¹⁰¹, Z¹⁰², B and E, are independently selected from the group consisting of alkyl, CF₃, alkoxy, OCF₃, halogen, hydroxyl, nitro, oxo, CN, COH, COOH, amino, N-alkylamino or N,N-dialkylamino,

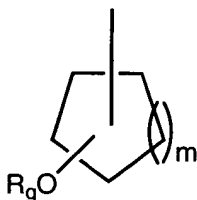
esters aryl, aryalkyl, alkyl-O-C(O), alkoxyalkyl, heterocycloalkyl, optionally substituted phenyl, nitro and optionally substituted amino.

2. (Original) The compound of Claim 1 wherein R_3 is H; R_1 for each occurrence is independently selected from the group consisting of F, Cl, Br, I, CH_3 , NO_2 , OCF_3 , OCH_3 , CN, CO_2CH_3 , CF_3 , $-CH_2NR_dR_e$, t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.
3. (Original) The compound of Claim 1 wherein R_3 is H; R_a for each occurrence is independently selected from the group consisting of F, Cl, Br, I, CH_3 , NO_2 , OCF_3 , OCH_3 , CN, CO_2CH_3 , CF_3 , t-butyl, pyridyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted benzyl, substituted or unsubstituted benzenesulfonyl, substituted or unsubstituted phenoxy, substituted or unsubstituted phenyl, substituted or unsubstituted amino, carboxyl, substituted or unsubstituted tetrazolyl, and substituted or unsubstituted styryl.
4. (Original) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein n is 1, 2 or 3.

5. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



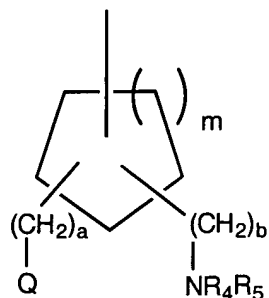
wherein m is 0, 1, 2 or 3 and

R_g is H or $-(CH_2)_pN(R_4)R_5$, wherein p is an integer from 2 to 6 and R_4 and

R_5 are each, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of $-C(O)-$, $-(CH_2)_q-$, $-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $-(CH_2)_qO-$, -

$(\text{CH}_2)_q\text{NH}-$, and $-(\text{CH}_2)_q\text{S(O)}_r-$; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted moiety selected from the group consisting of alkyl, alkoxy, amino, aryl, heteroaryl and thienyl, pyridyl, pyrazolyl, isoxazolyl, thiadiazolyl, oxadiazolyl, indazolyl, furanyl, pyrrolyl, imidazolyl, pyrazolyl, triazolyl, pyrimidinyl, pyrazinyl, thiazolyl, isothiazolyl, oxazolyl, tetrazolyl, benzo[b]thienyl, benzimidazolyl, benzoxazolyl, benzothiazolyl, benzothiadiazolyl, benzodiazolyl, indolyl, tetrahydroindolyl, azaindolyl, indazolyl, quinolinyl, imidazopyridinyl, quinazoline purinyl, pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or their N-oxides alkyl group or R_4 , R_5 and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

6. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein m is 0, 1, 2 or 3

a and b are each, independently, an integer from 0 to 6;

Q is $-OR_6$ or $-NR_4R_5$;

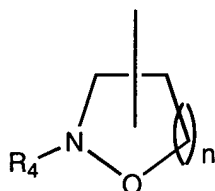
each R_4 and R_5 is, independently, H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of $-C(O)-$, $-(CH_2)_q-$, $-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy, amino, aryl, heteroaryl or thienyl alkyl, pyridylalkyl, pyrazolylalkyl, isoxazolylalkyl, thiadiazolylalkyl, oxadiazolylalkyl, indazolylalkyl, furanylalkyl, pyrrolylalkyl, imidazolylalkyl, pyrazolylalkyl, triazolylalkyl, pyrimidinylalkyl, pyrazinylalkyl, thiazolylalkyl, isothiazolylalkyl, oxazolylalkyl, tetrazolylalkyl, benzo[b]thienylalkyl, benzimidazolylalkyl, benzoxazolylalkyl, benzothiazolylalkyl, benzothiadiazolylalkyl, benzodiazolylalkyl, indolylalkyl, tetrahydroindolylalkyl, azaindolylalkyl, indazolylalkyl, quinolinylalkyl, imidazopyridinylalkyl, quinazoline purinylalkyl, pyrrolo[2,3-d]pyrimidinylalkyl or pyrazolo[3,4-d]pyrimidinylalkyl group or

R_4 , R_5 and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or

unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinoliny, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or their N-oxides or substituted or unsubstituted heterobicyclic group; and

R₆ is hydrogen or a substituted or unsubstituted alkyl group.

7. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



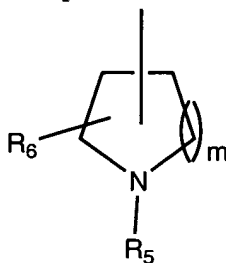
wherein n is 1, 2 or 3; and

R₄ is H, azabicycloalkyl or Y-Z, wherein Y is selected

from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein q is an integer 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or unsubstituted amino, aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted

or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group.

8. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein

m is 0, 1, 2 or 3;

R_5 is H, azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of a covalent bond, $-C(O)-$, $-(CH_2)_q-$, $-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, $-(CH_2)_qC(O)-$, $-C(O)(CH_2)_q-$ and $-(CH_2)_qS(O)_r-$, where the alkyl portion of $-(CH_2)_q-$, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, $-(CH_2)_qC(O)-$, $-C(O)(CH_2)_q-$ and $-(CH_2)_qS(O)_r$ is optionally substituted by a halogen, hydroxy or an alkyl group; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or

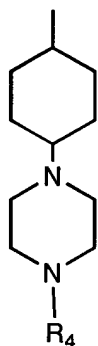
unsubstituted amino, substituted or unsubstituted alkoxy, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolyl alkyl, substituted or unsubstituted quinolinyl alkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group;

or Y and Z together are a natural or unnatural amino acid, which may be mono- or dialkylated at the amine nitrogen; and

R₆ represents one or more substituents each independently selected from the group consisting of hydrogen, hydroxy, oxo, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl,

substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinoliny, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl, substituted or unsubstituted arylcarbonyl, substituted or unsubstituted heterocyclylcarbonyl, substituted or unsubstituted aminoalkyl and substituted or unsubstituted arylalkyl; provided that the carbon atoms adjacent to the nitrogen atom are not substituted by a hydroxy group.

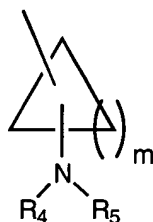
9. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein R_4 is H, substituted or unsubstituted alkyl, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of $-C(O)-$, $-(CH_2)_q-$, $-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$; wherein q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted

pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

10. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein

m is an integer from 1 to 6; and

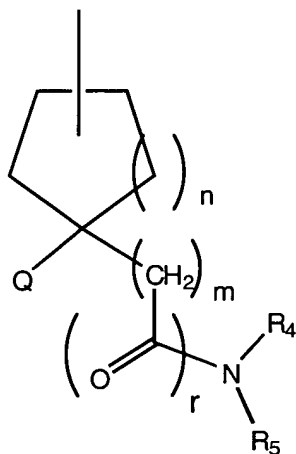
R_4 and R_5 are each, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of $-C(O)-$, $-(CH_2)_q-$, $-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl, substituted or

unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R₄, R₅ and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or

unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolynyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or substituted or unsubstituted heterobicyclic group.

11. (Previously Presented) The compound of Claim 1 wherein R_3 is H; R_2 is of the formula



wherein

n is an integer from 0 to 4;

r is 0 and m is an integer from 1 to 6; or

r is 1 and m is an integer from 0 to 6;

Q is $-OR_6$ or $-NR_4R_5$;

each R_4 and R_5 is, independently, H, substituted or unsubstituted azabicycloalkyl or Y-Z,

wherein Y is selected from the group consisting of $-C(O)-$, $-(CH_2)_q-$,

$-S(O)_2-$, $-C(O)O-$, $-SO_2NH-$, $-CONH-$, $-(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_qS(O)_r-$; q is an

integer from 0 to 6; and r is 0, 1 or 2; and Z is a substituted or unsubstituted alkyl,

substituted or unsubstituted alkoxy, substituted or unsubstituted amino, substituted or

unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted

thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted

pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted

thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or

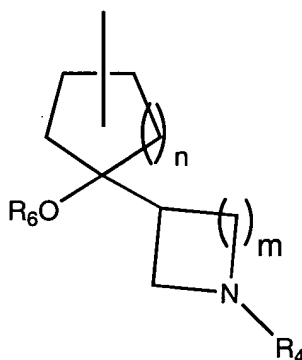
unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R₄, R₅ and the nitrogen atom to which they are attached together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl,

substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group; and

R₆ is hydrogen or a substituted or unsubstituted alkyl group.

12. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



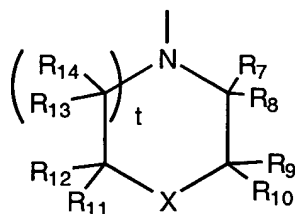
n is an integer from 0 to 4;

m is an integer from 0 to 6;

R₄ is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_q-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, -(CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein q is an integer from 0 to 6; and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or

unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; and R_6 is hydrogen or a substituted or unsubstituted alkyl group.

13. (Previously Presented) The compound of Claim 10 wherein R_4 , R_5 and the nitrogen atom together form a heterocyclic group of the formula



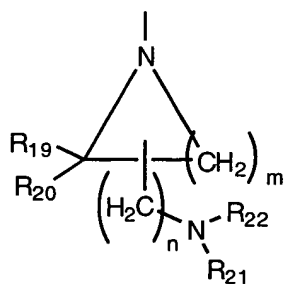
wherein

R_7 , R_8 , R_9 , R_{10} , R_{11} , R_{12} , R_{13} and R_{14} are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R_7 and R_8 ; R_9 and R_{10} ; R_{11} and R_{12} ; or R_{13} and R_{14} together are an oxygen atom; or at least one of R_7 and R_9 is cyano, CONHR_{15} , COOR_{15} , $\text{CH}_2\text{OR}_{15}$ or $\text{CH}_2\text{NR}_{15}(\text{R}_{16})$, wherein R_{15} and R_{16} are each, independently, H, azabicycloalkyl or V-L, wherein V is selected from the group consisting of $-\text{C}(\text{O})-$, $-(\text{CH}_2)_p-$, $-\text{S}(\text{O})_2-$, $-\text{C}(\text{O})\text{O}-$, $-\text{SO}_2\text{NH}-$, $-\text{CONH}-$, $(\text{CH}_2)_q\text{O}-$, $-(\text{CH}_2)_q\text{NH}-$, and $-(\text{CH}_2)_q\text{S}(\text{O})_r-$; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted

isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolynylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinoxaline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R₁₅, R₁₆ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolynyl, substituted or

unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or a substituted or unsubstituted heterobicyclic group; X is O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH₂, -C(O)R₁₇, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and t is 0 or 1.

14. (Previously Presented) The compound of Claim 10 wherein R₄, R₅ and the nitrogen atom together form a heterocycle of the formula



wherein

R₁₉ and R₂₀ are each, independently, hydrogen or lower alkyl; or R₁₉ and R₂₀ together are an oxygen atom;

R₂₁ and R₂₂ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of

-C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and- (CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or

unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or

R₂₁, R₂₂ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group;

n is an integer from 0 to 6.

-

R₂₃ is CH₂OH, NRR', C(O)NRR' or COOR, wherein R and R' are each, independently, hydrogen or substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl.

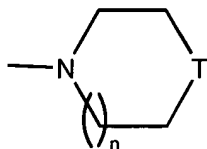
- C1=CN(C=C1)R24

from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-,
-CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q
is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl,
substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or
unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or

unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinoliny lalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R₂₆, R₂₇ and the nitrogen atom together form a substituted or unsubstituted thienyl, pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or

unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

17. (Original) The compound of Claim 10 wherein at least one of R_4 and R_5 is of the formula Y-Z, wherein Z is of the formula



wherein

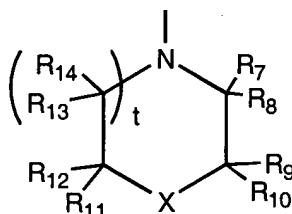
T is C(O), S, SO, SO₂, CHOR or NR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group; and

n is 0, 1 or 2.

18. (Previously Presented) The compound of Claim 10 wherein at least one of R_4 and R_5 is of the formula Y-Z, wherein Z is of the formula -N(R_{28}) R_{29} , wherein R_{28} and R_{29} are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or

R_{28} and R_{29} , together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, or substituted or unsubstituted tetrazolyl group.

19. (Previously Presented) The compound of Claim 11 wherein R₄, R₅ and the nitrogen atom together form a heterocycle of the formula



wherein

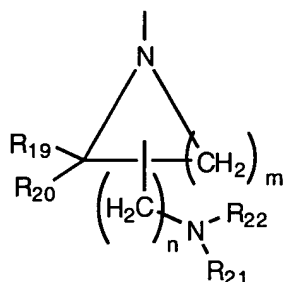
R₇, R₈, R₉, R₁₀, R₁₁, R₁₂, R₁₃ and R₁₄ are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R₇ and R₈; R₉ and R₁₀; R₁₁ and R₁₂; or R₁₃ and R₁₄ together are an oxygen atom; or at least one of R₇ and R₉ is cyano, CONHR₁₅, COOR₁₅, CH₂OR₁₅ or CH₂NR₁₅(R₁₆), wherein R₁₅ and R₁₆ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl,

substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyllalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or R₁₅, R₁₆ and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyll, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl or heterobicyclic group;

X is O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH₂, -C(O)R₁₈, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

t is 0 or 1.

20. (Previously Presented) The compound of Claim 11 wherein R_4 , R_5 and the nitrogen atom together form a heterocycle of the formula



wherein

R_{19} and R_{20} are each, independently, hydrogen or lower alkyl; or R_{19} and R_{20} together are an oxygen atom;

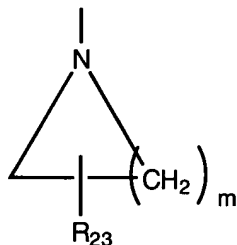
R_{21} and R_{22} are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, $-(CH_2)_p-$, $-S(O)_2-$, -C(O)O-, $-SO_2NH-$, $-CONH-$, $(CH_2)_qO-$, $-(CH_2)_qNH-$, and $-(CH_2)_rS(O)_r-$; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl,

substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R_{21} , R_{22} and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group;

m is an integer from 1 to 6; and

n is an integer from 0 to 6.

21. (Original) The compound of Claim 11 wherein R_4 , R_5 and the nitrogen atom together form a heterocyclic group of the formula

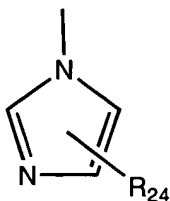


wherein

m is an integer from 1 to 6; and

R₂₃ is CH₂OH, NRR', C(O)NRR' or COOR, wherein R is hydrogen or a substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl group.

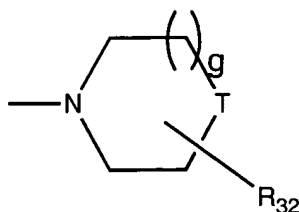
22. (Previously Presented) The compound of Claim 11 wherein R₄, R₅ and the nitrogen atom together form a heterocyclic group of the formula



wherein R₂₄ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl, carboxyl, cyano, C(O)OR₂₅, CH₂OR₂₅, CH₂NR₂₆R₂₇ or C(O)NHR₂₆, wherein R₂₅ is substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, substituted or unsubstituted heterocyclic or substituted or unsubstituted heterocycloaryl group; and R₂₆ and R₂₇ are each, independently, H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl,

substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolinyllalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or R_{26} , R_{27} and the nitrogen atom together form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolinyll, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

23. (Original) The compound of Claim 11 wherein at least one of R_4 and R_5 is of the formula Y-Z, wherein Z is of the formula



wherein

g is 0 or 1;

T is C(O), O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted arylalkyl, -C(NH)NH₂, -C(O)R₁₈, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl; and

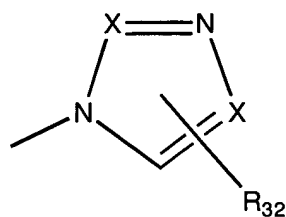
R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

24. (Previously Presented) The compound of Claim 11 wherein at least one of R₄ and R₅ is of the formula Y-Z, wherein Z is of the formula -N(R₂₈)R₂₉, wherein R₂₈ and R₂₉ are each, independently, substituted or unsubstituted carboxyalkyl, substituted or unsubstituted alkoxycarbonylalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted alkylsulfonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted cyanoalkyl; or

R₂₈ and R₂₉, together with the nitrogen atom, form a substituted or unsubstituted thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl or substituted or unsubstituted tetrazolyl group.

25. (Original) The compound of Claim 8 wherein R₅ is Y-Z, wherein Z is of the formula N(R₃₀)R₃₁, wherein R₃₀ and R₃₁ are each, independently, hydrogen, alkyl, alkoxycarbonyl, alkoxyalkyl, hydroxyalkyl, aminocarbonyl, cyano, alkylcarbonyl or arylalkyl.

26. (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula

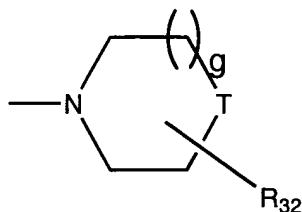


wherein

each X is, independently, CH or N; and

R_{32} is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxy alkyl, substituted or unsubstituted hydroxy alkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkyl carbonyl or substituted or unsubstituted aryl alkyl group.

27. (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula



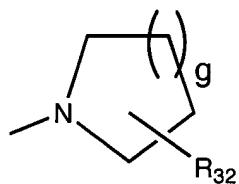
wherein

g is 0 or 1;

T is O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl, substituted or unsubstituted aryl alkyl, C(O)NH₂, -C(NH)NH₂, -C(O)R₁₇, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted aryl alkyl; and

R_{32} is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxy carbonyl, substituted or unsubstituted alkoxy alkyl, substituted or unsubstituted hydroxy alkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkyl carbonyl or substituted or unsubstituted aryl alkyl group.

28. (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula

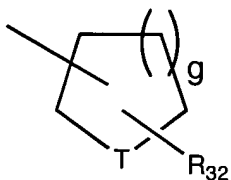


wherein

g is 0, 1 or 2; and

R_{32} is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

- 29 (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula



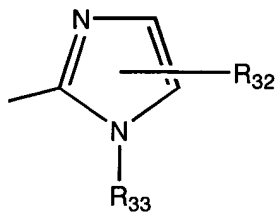
wherein

T is C(O), O, S, SO, SO₂, CH₂, CHOR₁₇ or NR₁₇, wherein R₁₇ is hydrogen, substituted or unsubstituted alkyl, aryl, arylalkyl, -C(NH)NH₂, -C(O)R₁₈, or -C(O)OR₁₈, wherein R₁₈ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted aryl or substituted or unsubstituted arylalkyl;

g is 0 or 1; and

R_{32} is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl, substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl group.

30. (Original) The compound of Claim 8 wherein R_5 is Y-Z, wherein Z is of the formula



wherein

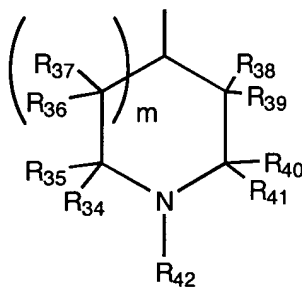
R₃₂ is hydrogen, cyano, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted hydroxyalkyl, substituted or unsubstituted aminocarbonyl, alkylcarbonyl, substituted or unsubstituted thioalkoxy or substituted or unsubstituted arylalkyl; and

R₃₃ is hydrogen, substituted or unsubstituted alkyl, substituted or unsubstituted alkoxycarbonyl, substituted or unsubstituted alkoxyalkyl,

substituted or unsubstituted aminocarbonyl, perhaloalkyl, substituted or unsubstituted alkenyl, substituted or unsubstituted alkylcarbonyl or substituted or unsubstituted arylalkyl.

31. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



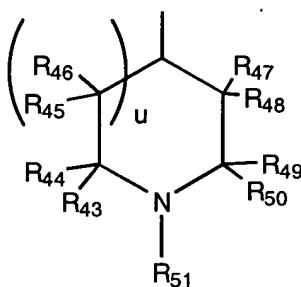
wherein

m is 0 or 1;

R₃₄, R₃₅, R₃₆, R₃₇, R₃₈, R₃₉, R₄₀ and R₄₁ are each, independently, methyl or hydrogen; or at least one pair of substituents R₃₄ and R₃₅; R₃₆ and R₃₇; R₃₈ and R₃₉; or R₄₀ and R₄₁ together are an oxygen atom; and

R₄₂ is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or

unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, quinolinyllalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl group; or
 R_{42} is of the formula



wherein

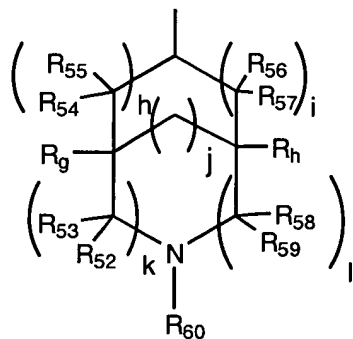
u is 0 or 1;

R_{43} , R_{44} , R_{45} , R_{46} , R_{47} , R_{48} , R_{49} and R_{50} are each, independently, methyl or hydrogen;
or at least one pair of substituents R_{43} and R_{44} ; R_{45} and R_{46} ; R_{47} and R_{48} ; or R_{49} and R_{50} together are an oxygen atom; and

R_{51} is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of $-C(O)-$, $-(CH_2)_p-$, $-S(O)_2-$, $-C(O)O-$,

-SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

32. (Previously Presented) The compound of Claim 1 wherein R₃ is H; R₂ is of the formula



wherein

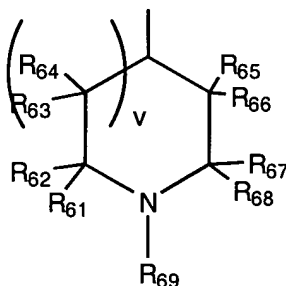
h, i, j, k and l are independently 0 or 1;

R₅₂, R₅₃, R₅₄, R₅₅, R₅₆, R₅₇, R₅₈, R₅₉, R_g and R_h are each, independently, methyl or hydrogen; or at least one pair of substituents R₅₂ and R₅₃; R₅₄ and R₅₅; R₅₆ and R₅₇; or R₅₈ and R₅₉ together are an oxygen atom; and

R₆₀ is H, substituted or unsubstituted azabicycloalkyl or Y-Z, wherein Y is selected from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-, -SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and Z is substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolyl alkyl, substituted or unsubstituted pyrimidinyl alkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted

quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl; or

R₆₀ is of the formula



wherein

v is 0 or 1;

R₆₁, R₆₂, R₆₃, R₆₄, R₆₅, R₆₆, R₆₇ and R₆₈ are each, independently, lower alkyl or hydrogen; or at least one pair of substituents R₆₁ and R₆₂; R₆₃ and R₆₄; R₆₅ and R₆₆; and R₆₇ and R₆₈ together are an oxygen atom; and

R₆₉ is H, substituted or unsubstituted azabicycloalkyl or V-L, wherein V is selected from the group consisting of -C(O)-, -(CH₂)_p-, -S(O)₂-, -C(O)O-,

-SO₂NH-, -CONH-, (CH₂)_qO-, -(CH₂)_qNH-, and -(CH₂)_qS(O)_r-; wherein p is an integer from 0 to 6, q is an integer from 0 to 6, and r is 0, 1 or 2; and L is

substituted or unsubstituted alkyl, substituted or unsubstituted amino, substituted or unsubstituted aryl, substituted or unsubstituted heteroaryl or substituted or unsubstituted thienylalkyl, substituted or unsubstituted pyridylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted isoxazolylalkyl, substituted or unsubstituted thiadiazolylalkyl, substituted or unsubstituted oxadiazolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted furanylalkyl, substituted or unsubstituted pyrrolylalkyl, substituted or unsubstituted imidazolylalkyl, substituted or unsubstituted pyrazolylalkyl, substituted or unsubstituted triazolylalkyl, substituted or unsubstituted pyrimidinylalkyl, substituted or unsubstituted pyrazinylalkyl, substituted or unsubstituted thiazolylalkyl, substituted or unsubstituted isothiazolylalkyl, substituted or unsubstituted oxazolylalkyl, substituted or unsubstituted tetrazolylalkyl, substituted or unsubstituted benzo[b]thienylalkyl, substituted or unsubstituted benzimidazolylalkyl, substituted or unsubstituted benzoxazolylalkyl, substituted or unsubstituted benzothiazolylalkyl, substituted or unsubstituted benzothiadiazolylalkyl, substituted or

unsubstituted benzodiazolylalkyl, substituted or unsubstituted indolylalkyl, substituted or unsubstituted tetrahydroindolylalkyl, substituted or unsubstituted azaindolylalkyl, substituted or unsubstituted indazolylalkyl, substituted or unsubstituted quinolylalkyl, substituted or unsubstituted imidazopyridinylalkyl, substituted or unsubstituted quinazoline purinylalkyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinylalkyl or substituted or unsubstituted pyrazolo[3,4-d]pyrimidinylalkyl.

33. (Previously Presented) A method of inhibiting one or more protein kinase activity in a patient in need thereof comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient.
34. (Original) The method of Claim 33 wherein said protein kinase is selected from the group consisting of KDR, FGFR-1, PDGFR β , PDGFR α , IGF-1R, c-Met, Flt-1, Flt-4, TIE-2, TIE-1, Lck, Src, fyn, Lyn, Blk, hck, fgr and yes.
35. (Previously Presented) A method of affecting thyroid hyperplasia, Grave's disease, cyst, hypervascularity of ovarian stroma characteristic of polycystic ovarian syndrome and polycystic kidney disease in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient.
36. (Cancelled)
37. (Original) The method of Claim 33 wherein the protein kinase is a protein serine/threonine kinase or a protein tyrosine kinase.
38. (Previously Presented) A method of treating one or more ulcers in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient.
39. (Original) The method of Claim 38 wherein the ulcer or ulcers are caused by a bacterial or fungal infection; or the ulcer or ulcers are Mooren ulcers; or the ulcer or ulcers are a symptom of ulcerative colitis.
40. (Previously Presented) A method of treating a condition in a patient comprising administering a therapeutically effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof to said patient, wherein said condition is an ocular condition, Crow-Fukase (POEMS) syndrome, a diabetic condition, sickle cell anaemia, chronic inflammation, systemic lupus, glomerulonephritis, synovitis, inflammatory bowel

disease, Crohn's disease, glomerulonephritis, rheumatoid arthritis, osteoarthritis, multiple sclerosis, graft rejection, Lyme disease, sepsis, von Hippel Lindau disease, pemphigoid, psoriasis, Paget's disease, polycystic kidney disease, fibrosis, sarcoidosis, cirrhosis, thyroiditis, hyperviscosity syndrome, Osler-Weber-Rendu disease, chronic occlusive pulmonary disease, asthma or edema following burns, trauma, radiation, stroke, hypoxia, ischemia, ovarian hyperstimulation syndrome, preeclampsia, menometrorrhagia, endometriosis, or infection by Herpes simplex, Herpes Zoster, human immunodeficiency virus, parapoxvirus, protozoa, toxoplasmosis, a sarcoma, fibrosarcoma, osteoma, melanoma, retinoblastoma, a rhabdomyosarcoma, glioblastoma, neuroblastoma, teratocarcinoma, an hematopoietic malignancy, Kaposi's sarcoma, Hodgkin's disease, lymphoma, myeloma, leukaemia, malignant ascites, atherosclerosis, restenosis, ischemia/reperfusion injury, vascular occlusion, carotid obstructive disease, ocular or macular edema, ocular neovascular disease, scleritis, radial keratotomy, uveitis, vitritis, myopia, optic pits, chronic retinal detachment, post-laser treatment complications, conjunctivitis, Stargardt's disease, Eales disease, retinopathy or macular degeneration.

41. (Cancelled)
42. (Cancelled)
43. (Cancelled)
44. (Original) The method of Claim 40 wherein the diabetic condition is insulin-dependent diabetes mellitus glaucoma, diabetic retinopathy or microangiopathy.
45. (Previously Presented) A method of decreasing fertility in a patient, said method comprising the step of administering to the patient an effective amount of a compound of Claim 1 or a physiologically acceptable salt thereof.
46. (Cancelled)
47. (Original) The method of Claim 34 wherein the protein kinase is Tie-2.
48. (Cancelled)
49. (Cancelled)
50. (Cancelled)
51. (Original) The method of Claim 33 wherein the protein kinase activity is involved in T cell activation, B cell activation, mast cell degranulation, monocyte activation, the potentiation of an inflammatory response or a combination thereof.

52. (Previously Presented) A compound according to Claim 1, wherein R_3 is H;

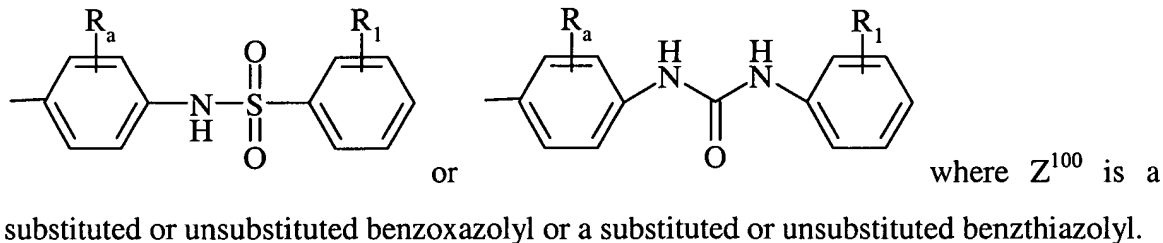
R_2 is $-Z^{101}-Z^{102}$ where Z^{101} is a covalent bond, $-(C_1-C_6)-$, $-(C_1-C_6)-O-$, $-(C_1-C_6)-C(O)-$, $-(C_1-C_6)-C(O)O-$, $-(C_1-C_6)-C(O)-NH-$, $-(C_1-C_6)-C(O)-N((C_1-C_6))-$ or a substituted phenyl group; and

Z^{102} is hydrogen, a substituted or unsubstituted alkyl group or a substituted or unsubstituted, thienyl, substituted or unsubstituted pyridyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted isoxazolyl, substituted or unsubstituted thiadiazolyl, substituted or unsubstituted oxadiazolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted furanyl, substituted or unsubstituted pyrrolyl, substituted or unsubstituted imidazolyl, substituted or unsubstituted pyrazolyl, substituted or unsubstituted triazolyl, substituted or unsubstituted pyrimidinyl, substituted or unsubstituted pyrazinyl, substituted or unsubstituted thiazolyl, substituted or unsubstituted isothiazolyl, substituted or unsubstituted oxazolyl, substituted or unsubstituted tetrazolyl, substituted or unsubstituted benzo[b]thienyl, substituted or unsubstituted benzimidazolyl, substituted or unsubstituted benzoxazolyl, substituted or unsubstituted benzothiazolyl, substituted or unsubstituted benzothiadiazolyl, substituted or unsubstituted benzodiazolyl, substituted or unsubstituted indolyl, substituted or unsubstituted tetrahydroindolyl, substituted or unsubstituted azaindolyl, substituted or unsubstituted indazolyl, substituted or unsubstituted quinolyl, substituted or unsubstituted imidazopyridinyl, substituted or unsubstituted quinazoline purinyl, substituted or unsubstituted pyrrolo[2,3-d]pyrimidinyl, substituted or unsubstituted pyrazolo[3,4-d]pyrimidinyl group.

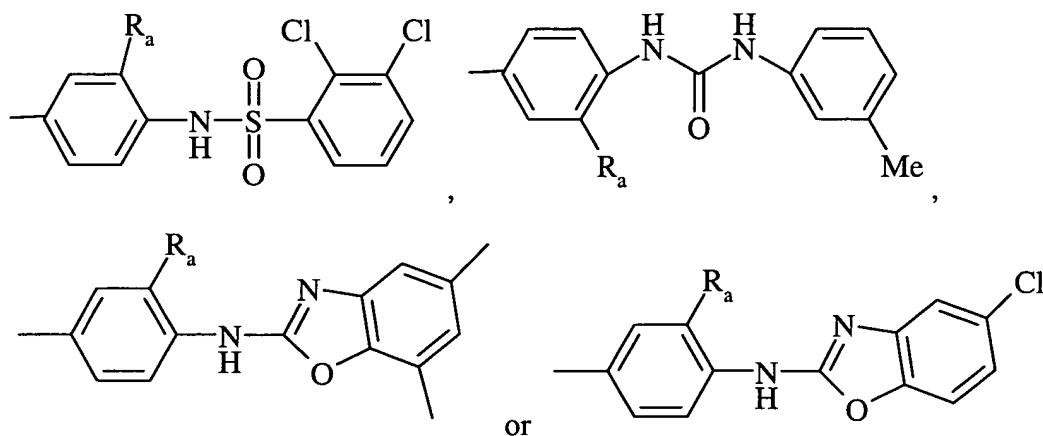
53. (Original) A compound according to Claim 52, wherein Z^{101} is selected from the group consisting of $-CH_2-C(O)O-$, $-CH_2-C(O)-$, $-CH_2-C(O)-NH-$, $-CH_2-C(O)-N(Me)-$, $-CH(Me)-C(O)O-$, $-(CH_2)_3-C(O)O-$, $-CH(Me)-C(O)-NH-$, and $-(CH_2)_3-C(O)-NH-$;

Z^{102} is selected from the group consisting of hydrogen, methyl, ethyl, N,N-dimethylaminoethyl, N,N-diethylaminoethyl, 2-phenyl-2-hydroxyethyl, morpholino, piperazinyl, N-methylpiperazinyl and 2-hydroxymethylpyrrolidinyl.

54. (Original) A compound according to Claim 53, wherein R_1 is

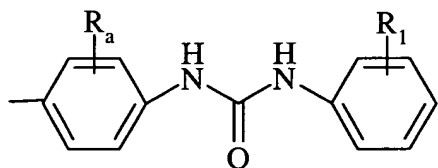


55. (Original) A compound according to Claim 8, 9, 10 or 53, wherein R_1 is

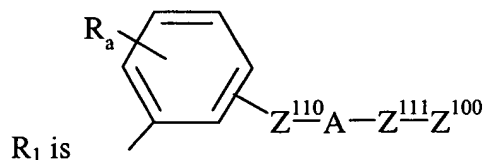


56. (Original) A compound according to Claim 52, wherein Z^{101} is a covalent bond; and Z^{102} is an optionally substituted pyridyl.

57. (Original) A compound according to Claim 56, wherein R_1 is



58. (Original) A compound according to Claim 1, wherein R_3 is H;
 R_2 is cyclopentyl; and



59. (Original) A compound according to Claim 58, wherein

Z^{110} is hydrogen;

A is O; and Z^{100} is optionally substituted phenyl, furanyl or thienyl, where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, COOH, NO₂, OMe, -COOMe, OCF₃ and CF₃.

60. (Original) A compound according to Claim 58, wherein

Z^{110} is hydrogen;

A is -O-, -O-(CR₂)_n-C(O)- or -O-(CR₂)_n-O-;

n for each occurrence is 0 to 3;

Z^{100} is an optionally substituted group selected from the group consisting of cyclohexyl, phenyl, tetrahydropyranyl, tetrahydrofuranyl, isoxazolyl and piperidinyl; where Z^{100} is optionally substituted with one or more substituents selected from the group consisting of alkyl, alkoxy, halo, hydroxy and alkoxycarbonyl.

61. (Original) A compound according to Claim 58, wherein R² is an optionally substituted group selected from the group consisting of cyclobutyl and cyclohexyl.

62. (Original) A compound according to Claim 61, wherein R² is optionally substituted with one or more substituents selected from the group consisting of hydroxy, alkyl, hydroxyalkyl, carboxyalkyl and phenylalkoxyalkyl.

63. (Original) A compound according to Claim 62, wherein R₁ is 4-phenoxyphenyl.

64. (Original) A compound according to Claim 6 wherein

m is 2; a is 0; R₆ is H; b is 1 or 2; and R₄ and R₅ are each hydrogen.

65. (Previously Presented) A compound according to Claim 8, wherein

m is 0, 1 or 2; R₆ is hydrogen; R₅ is H or Y-Z;

where Y is a covalent bond, -C(O)-, -(CH₂)_qO-, -(CH₂)_q-, -(CH₂)_qC(O)- or -C(O)(CH₂)_q-,

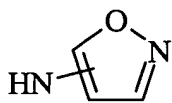
where the alkyl portion of -(CH₂)_qO-, -(CH₂)_p-, -(CH₂)_qC(O)- and -C(O)(CH₂)_q- is

optionally substituted by a halogen, hydroxy or an alkyl group; and

Z is hydrogen, alkyl, optionally substituted alkyl, alkoxyalkyl, optionally substituted thienylalkyl, optionally substituted pyridylalkyl, optionally substituted pyrazolylalkyl, optionally substituted isoxazolylalkyl, optionally substituted thiadiazolylalkyl, optionally substituted oxadiazolylalkyl, optionally substituted indazolylalkyl, optionally substituted furanylalkyl, optionally substituted pyrrolylalkyl, optionally substituted imidazolylalkyl, optionally substituted pyrazolylalkyl, optionally substituted triazolylalkyl, optionally

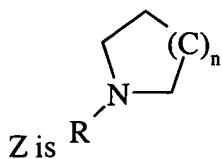
substituted pyrimidinylalkyl, optionally substituted pyrazinylalkyl, optionally substituted thiazolylalkyl, optionally substituted isothiazolylalkyl, optionally substituted oxazolylalkyl, optionally substituted tetrazolylalkyl, optionally substituted benzo[b]thienylalkyl, optionally substituted benzimidazolylalkyl, optionally substituted benzoxazolylalkyl, optionally substituted benzothiazolylalkyl, optionally substituted benzothiadiazolylalkyl, optionally substituted benzodiazolylalkyl, optionally substituted indolylalkyl, optionally substituted tetrahydroindolylalkyl, optionally substituted azaindolylalkyl, optionally substituted indazolylalkyl, optionally substituted quinolylalkyl, optionally substituted imidazopyridinylalkyl, optionally substituted quinazoline purinylalkyl, optionally substituted pyrrolo[2,3-d]pyrimidinylalkyl, optionally substituted pyrazolo[3,4-d]pyrimidinylalkyl, optionally substituted heteroaryl, or optionally substituted amino.

66. (Original) A compound according to Claim 65, wherein Z is hydrogen, methyl, ethyl, hydroxymethyl, methoxyethyl, N-methyl-piperidinyl, (t-butoxycarbonyl)(hydroxy)-piperidinyl, hydroxypiperidinyl, (hydroxymethyl)piperidinyl, (hydroxy)(methyl)-piperidinyl, morpholino, (methoxyethyl)piperizinyl, methylpiperizinyl, 4-piperidinylpiperidinyl, imidazolyl, methylimidazolyl, N-methylamino, N,N-dimethylamino, N-isopropylamino, N,N-diethylamino, 2,3-dihydroxypropylamino, 2-hydroxyethylamino, 3-hydroxypropylamino, methoxyethylamino, ethoxycarbonylmethylamino, phenylmethylamino, N-methyl-N-methoxyamino,



, furanylmethylamino, piperidineethylamino, N-(2-N,N-dimethylaminoethyl)-N-methylamino, 2-N,N-dimethylaminoethylamino, N-methyl-N-(N-methylpiperidin-4-yl)amino, 2-morpholino-ethylamino, 3-morpholino-propylamino, 3-imidazolylpropylamino, or 3-(2-oxopyrrolidinyl)propylamino.

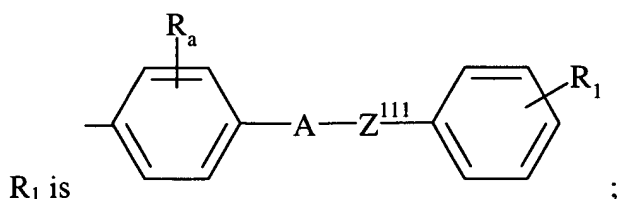
67. (Original) A compound according to Claim 8, wherein m is 2; R₅ is Y-Z; Y is -C(O)-; and



Z is R where n is 0, 1, 2 or 3.

68. (Original) A compound according to Claim 9, wherein

R_4 is hydrogen or methyl;



A is selected from the group consisting of O, -N(R)- and -N(R)C(O)-;

Z^{111} is $-(CH_2)_n$ -cycloalkyl- $(CH_2)_n$ -;

R is hydrogen or alkyl;

n is 0 to 5;

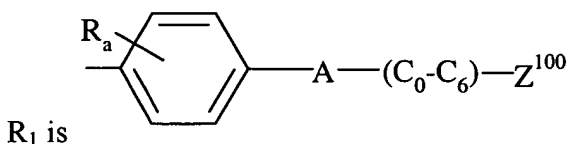
R_a is one or more substituents each independently selected from the group consisting of H, OH, F, Cl, methyl and methoxy; and

R_b is one or more substituents each independently selected from the group consisting of H, CN, F, CF_3 , OCF_3 , methyl, methoxy and an optionally substituted amino group;

where said amino group is optionally substituted with one or two groups each independently selected from the group consisting of alkyl, alkoxyalkyl, phenyl, substituted phenyl, and optionally substituted heteroaryl.

69. (Original) A compound according to Claim 68, wherein R_b is 4-methylphenylthio or 2-pyridinylthio.

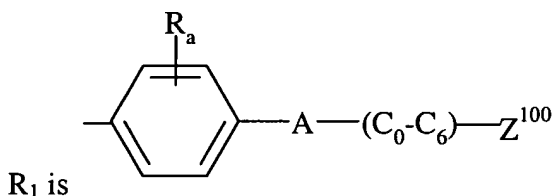
70. (Original) A compound according to Claim 9, wherein



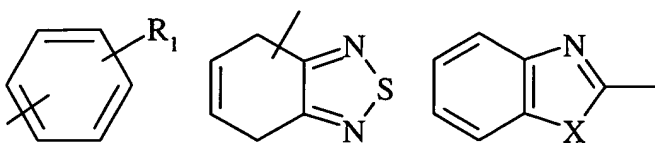
where Z^{100} is selected from the group consisting of benzo[b]thiophene, furanyl and thiophene.

71. (Original) A compound according to Claim 9C, wherein R_a is alkoxy; A is -NH-C(O)-; and there is a covalent bond between A and Z^{100} .

72. (Original) A compound according to Claims 1, 8 or 9, wherein



A is selected from the group consisting of $-N(R)-C(O)-N(R)-$, $-(CH_2)_n-N(R)C(O)N(R)-$, $-N(R)-$ and $-N(R)-SO_2-$; R is hydrogen or alkyl;

Z^{100} is , pyridinyl, thiazolyl, furanyl, benzofuranyl or oxazolyl;

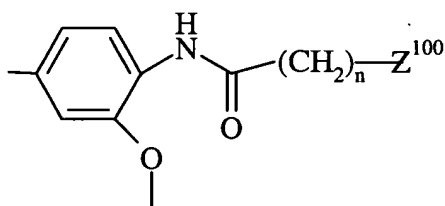
X is S, O or NR where R for each occurrence is independently H or Me;

R_a is one or more substituents each independently selected from the group consisting of H and F; and

R_b is one or more substituents each independently selected from the group consisting of H, F, Cl, Br, NO_2 , CF_3 , alkyl, alkoxy and alkoxycarbonyl.

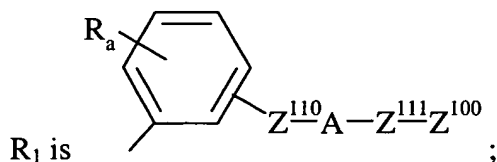
73. (Original) A compound according to Claim 72, wherein
 R_4 is methyl; m is 1, 2 or 3; R_5 is Y-Z, where Y is $-C(O)O-$, $-C(O)-$ or $-C(O)-(CH_2)_p-$; and Z is aminoalkyl, N-alkylamino, N,N-dialkylamino or hydroxyalkylaminoalkyl.

74. (Original) A compound according to Claim 9, wherein
 R_4 is methyl; R_1 is



where n is 0 to 3; Z^{100} is an optionally substituted group selected from the group consisting of indolyl, indenyl, methylindenyl, methylindolyl, dimethylaminophenyl, phenyl, cyclohexyl and benzofuranyl.

75. (Original) A compound according to claim 9, wherein



Z^{100} is an optionally substituted group selected from the group consisting of phenyl, imidazolyl, indolyl, furanyl, benzofuranyl and 2,3-dihydrobenzofuranyl;

where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, CN, optionally

substituted alkyl, -O-(optionally substituted alkyl), -COOH, $-Z^{105}-C(O)N(R)_2$, $-Z^{105}-N(R)-C(O)-Z^{200}$, $-Z^{105}-N(R)-S(O)_2-Z^{200}$, and $-Z^{105}-N(R)-C(O)-N(R)-Z^{200}$;

Z^{105} is a covalent bond or (C_1-C_6) ;

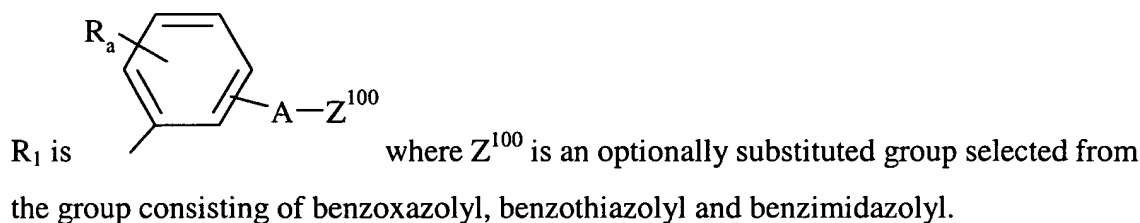
Z^{200} is an optionally substituted group selected from group consisting of (C_1-C_6) , phenyl and $-(C_1-C_6)$ -phenyl;

Z^{110} and Z^{111} are each independently a covalent bond or (C_1-C_3) group optionally substituted with alkyl, hydroxy, COOH, CN or phenyl; and

A is O, $-N(R)-C(O)-N(R)-$, $-N(R)-C(O)-O-$, $-N(R)-$ or $-N(R)-C(O)-$, where R is H or alkyl.

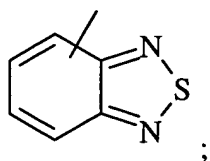
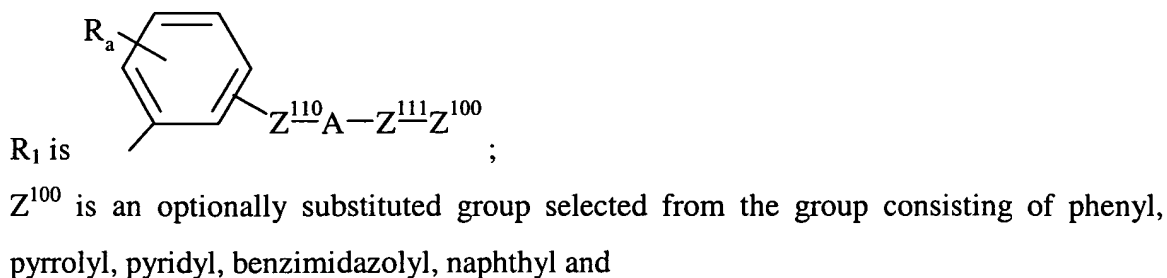
76. (Original) A compound according to Claim 75, wherein R_4 is methyl.

77. (Original) A compound according to Claim 8, 9 or 10, wherein



78. (Original) A compound according to Claim 77, wherein R_4 is methyl; A is $-NH-$; there is only one R_a and it is H or F; and Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of alkyl, halo, CF_3 , and alkoxy.

79. (Original) A compound according to Claim 9, wherein



where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, Br, NO_2 , amino, N-

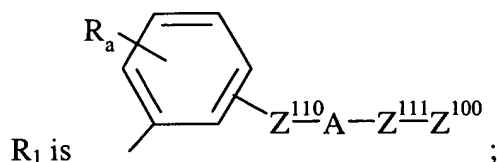
alkylamino, N,N-dialkylamino, CN, optionally substituted alkyl, -O-(optionally substituted alkyl) and phenyl;

Z^{110} and Z^{111} for each occurrence is independently (C_0 - C_3) optionally substituted with optionally substituted phenyl; and

A is -N(R)-C(O)-N(R)-, -N(R)-S(O)₂-, -N(R)-C(O)-, -N(R)- or -N(R)-C(O)-O-.

80. (Original) A compound according to Claim 79, wherein R_4 is methyl and there is only one R_a and it is F.

81. (Original) A compound according to Claim 9 or 66, wherein



Z^{100} is an optionally substituted group selected from the group consisting of phenyl, isoxazolyl, tetrahydronaphthyl, furanyl, benzofuranyl, pyridyl and indolyl;

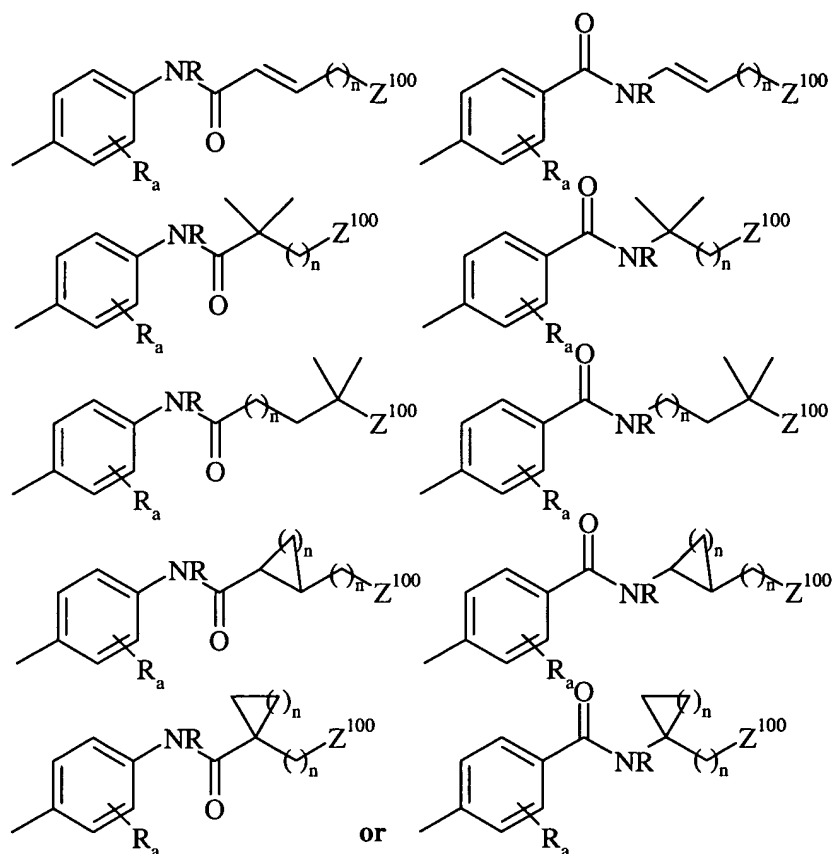
where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, CN, NO₂, -C(O)H, -CONH₂, -NHSO₂CF₃, optionally substituted alkyl, optionally substituted heteroaryl and -O-(optionally substituted alkyl);

Z^{110} and Z^{111} are each independently optionally substituted (C_0 - C_3); and

A is O, -N(R)-C(O)-(CH₂)_n-N(R)-, -C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)-C(O)- or -N(R)-.

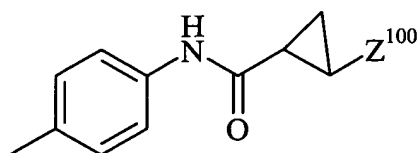
82. (Original) A compound according to Claim 81, wherein R_4 is methyl; R_a is H or methoxy; and Z^{110} and Z^{111} are each unsubstituted.

83. (Original) A compound according to Claim 9, wherein R_1 is

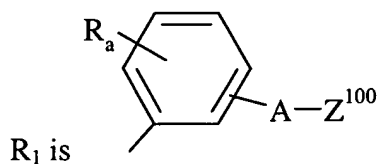


where R is H or lower alkyl and n is for each occurrence is independently 1 to 6.

84. (Original) A compound according to Claim 83, wherein R₁ is



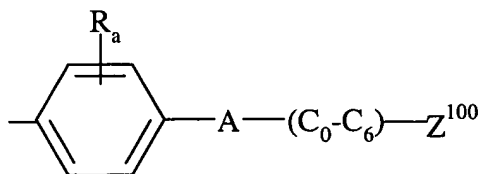
85. (Original) A compound according to Claim 84, wherein Z¹⁰⁰ is substituted or unsubstituted phenyl.
86. (Original) A compound according to Claim 8, 9 or 10, wherein



where Z¹⁰⁰ is an optionally substituted group selected from the group consisting of benzoxazolyl, benzothiazolyl and benzimidazolyl.

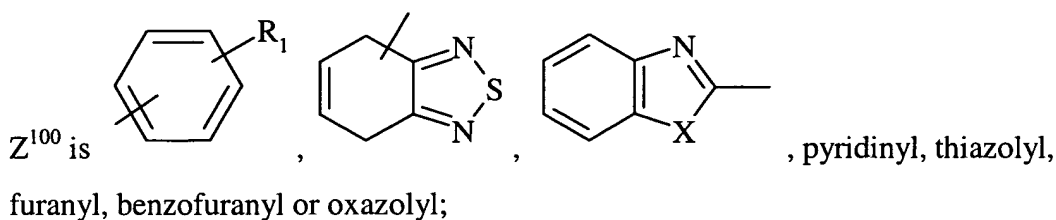
87. (Original) A compound according to Claim 11 wherein n is 2; R₆ is H; m is 1; r is 1; and R₄ and R₅ are each hydrogen.
88. (Original) A compound according to claim 64 or 87 wherein R₁ is 4-phenoxyphenyl.

71. (Original) A compound according to Claim 9C, wherein R_a is alkoxy; A is -NH-C(O)-; and there is a covalent bond between A and Z^{100} .
72. (Original) A compound according to Claims 1, 8 or 9, wherein



R_1 is

A is selected from the group consisting of -N(R)-C(O)-N(R)-, $-(CH_2)_n$ -N(R)C(O)N(R)-, -N(R)- and -N(R)-SO₂-; R is hydrogen or alkyl;

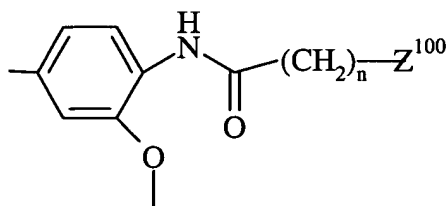


X is S, O or NR where R for each occurrence is independently H or Me;

R_a is one or more substituents each independently selected from the group consisting of H and F; and

R_b is one or more substituents each independently selected from the group consisting of H, F, Cl, Br, NO₂, CF₃, alkyl, alkoxy and alkoxycarbonyl.

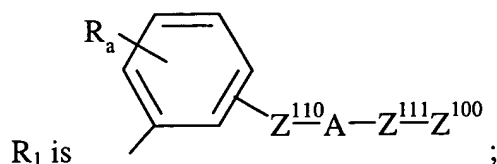
73. (Original) A compound according to Claim 72, wherein R_4 is methyl; m is 1, 2 or 3; R_5 is Y-Z, where Y is -C(O)O-, -C(O)- or -C(O)-(CH₂)_p-; and Z is aminoalkyl, N-alkylamino, N,N-dialkylamino or hydroxyalkylaminoalkyl.
74. (Original) A compound according to Claim 9, wherein R_4 is methyl; R_1 is



where n is 0 to 3; Z^{100} is an optionally

substituted group selected from the group consisting of indolyl, indenyl, methylindenyl, methylindolyl, dimethylaminophenyl, phenyl, cyclohexyl and benzofuranyl.

75. (Original) A compound according to claim 9, wherein



Z^{100} is an optionally substituted group selected from the group consisting of phenyl, imidazolyl, indolyl, furanyl, benzofuranyl and 2,3-dihydrobenzofuranyl;

where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, CN, optionally substituted alkyl, -O-(optionally substituted alkyl), -COOH, - Z^{105} - $C(O)N(R)_2$, - Z^{105} -N(R)-C(O)- Z^{200} , - Z^{105} -N(R)-S(O)₂- Z^{200} , and - Z^{105} -N(R)-C(O)-N(R)- Z^{200} ;

Z^{105} is a covalent bond or (C₁-C₆);

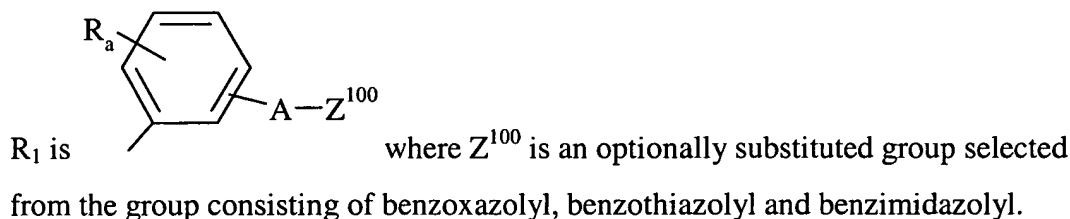
Z^{200} is an optionally substituted group selected from group consisting of (C₁-C₆), phenyl and -(C₁-C₆)-phenyl;

Z^{110} and Z^{111} are each independently a covalent bond or (C₁-C₃) group optionally substituted with alkyl, hydroxy, COOH, CN or phenyl; and

A is O, -N(R)-C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)- or -N(R)-C(O)-, where R is H or alkyl.

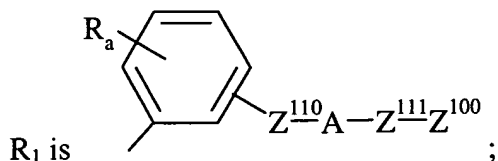
76. (Original) A compound according to Claim 75, wherein R_4 is methyl.

77. (Original) A compound according to Claim 8, 9 or 10, wherein

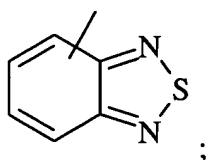


78. (Original) A compound according to Claim 77, wherein R_4 is methyl; A is -NH-; there is only one R_a and it is H or F; and Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of alkyl, halo, CF₃, and alkoxy.

79. (Original) A compound according to Claim 9, wherein



Z^{100} is an optionally substituted group selected from the group consisting of phenyl, pyrrolyl, pyridyl, benzimidazolyl, naphthyl and



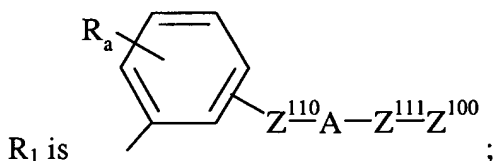
where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, Cl, Br, NO_2 , amino, N-alkylamino, N,N-dialkylamino, CN, optionally substituted alkyl, -O-(optionally substituted alkyl) and phenyl;

Z^{110} and Z^{111} for each occurrence is independently ($\text{C}_0\text{-C}_3$) optionally substituted with optionally substituted phenyl; and

A is -N(R)-C(O)-N(R)-, -N(R)-S(O)₂-, -N(R)-C(O)-, -N(R)- or -N(R)-C(O)-O-.

80. (Original) A compound according to Claim 79, wherein R_4 is methyl and there is only one R_a and it is F.

81. (Original) A compound according to Claim 9 or 66, wherein



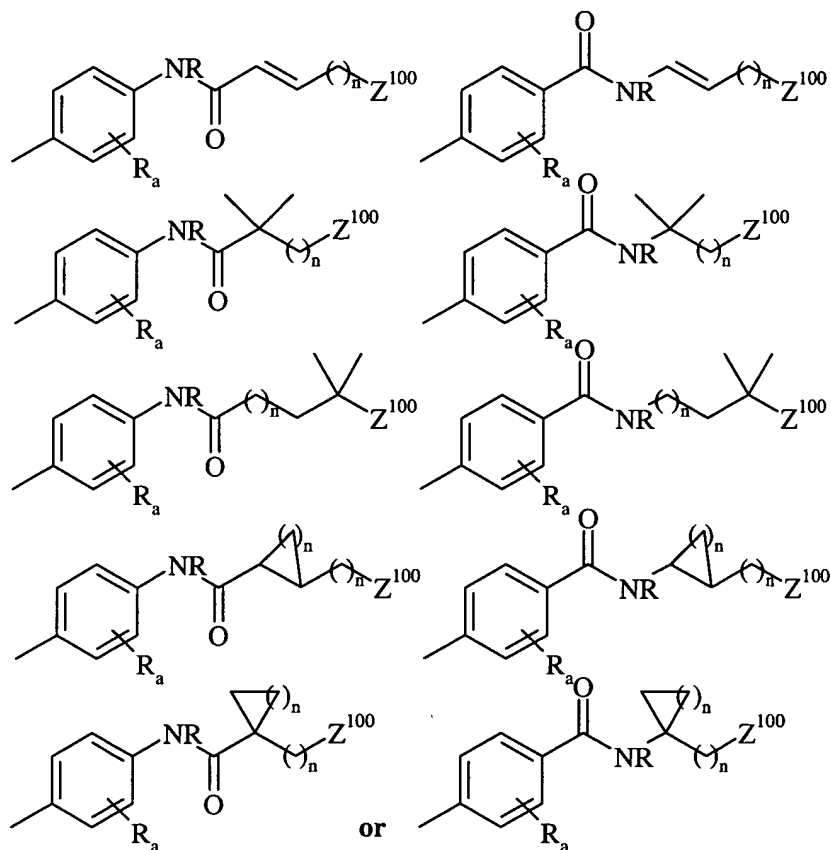
Z^{100} is an optionally substituted group selected from the group consisting of phenyl, isoxazolyl, tetrahydronaphthyl, furanyl, benzofuranyl, pyridyl and indolyl;

where Z^{100} is optionally substituted with one or more substituents each independently selected from the group consisting of F, CN, NO_2 , -C(O)H, -CONH₂, -NHSO₂CF₃, optionally substituted alkyl, optionally substituted heteroaryl and -O-(optionally substituted alkyl);

Z^{110} and Z^{111} are each independently optionally substituted ($\text{C}_0\text{-C}_3$); and

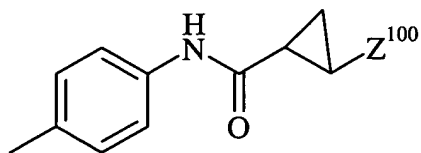
A is O, -N(R)-C(O)-(CH₂)_n-N(R)-, -C(O)-N(R)-, -N(R)-C(O)-O-, -N(R)-C(O)- or -N(R)-.

82. (Original) A compound according to Claim 81, wherein R_4 is methyl; R_a is H or methoxy; and Z^{110} and Z^{111} are each unsubstituted.
83. (Original) A compound according to Claim 9, wherein R_1 is



where R is H or lower alkyl and n is for each occurrence is independently 1 to 6.

84. (Original) A compound according to Claim 83, wherein R_1 is



85. (Original) A compound according to Claim 84, wherein Z^{100} is substituted or unsubstituted phenyl.
86. (Original) A compound according to Claim 8, 9 or 10, wherein

